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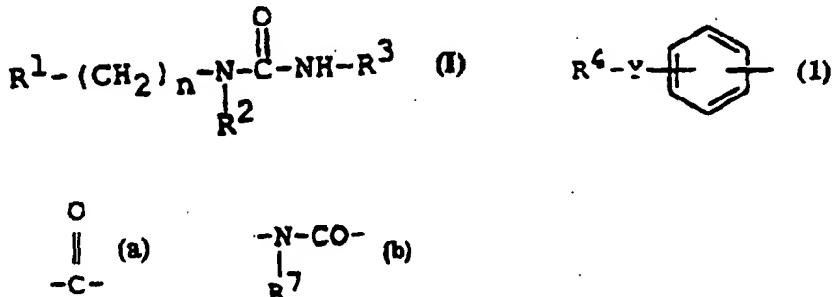
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(54) Title: UREA DERIVATIVES AND THEIR USE AS ACAT-INHIBITORS

(57) Abstract

Urea derivatives of formula (I), wherein R¹ is a group of formula (I) (in which R⁴ is aryl which may have suitable substituent(s), or heterocyclic group which may have suitable substituent(s), and Y is bond, lower alkylene, -S-, -O-, (a), -CH-, -CONH-, (b), (in which R⁷ is lower alkyl), -NHSO₂-, -SO₂NH-, -SO₂NHCO- or -CONHSO₂-); or thiazolyl, imidazolyl, pyrazolyl, pyridyl, thienyl, furyl, isoxazolyl or chromanyl, each of which may have suitable substituent(s); R² is lower alkyl, lower alkoxy(lower)alkyl, cycloalkyl, ar(lower)alkyl which may have suitable substituent(s), heterocyclic group or heterocyclic(lower)alkyl, R³ is aryl which may have suitable substituent(s) or heterocyclic group which may have suitable substituent(s), and n is 0 or 1, and a pharmaceutically acceptable salt thereof which are useful as a medicament in the treatment of hypercholesterolemia, hyperlipidemia and atherosclerosis.



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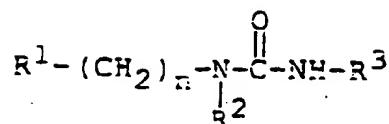
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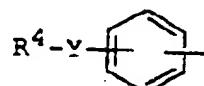
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C L A I M S

1. A compound of the formula :



wherein

 R^1 is a group of the formula :

(in which

R^4 is aryl which may have suitable substituent(s), or heterocyclic group which may have suitable substituent(s), and

Y is bond, lower alkylene, $-\text{S}-$, $-\text{O}-$, $-\text{C}(=\text{O})-$,
 $=\text{CH}-$, $-\text{CONH}-$, $-\text{N}(\text{R}^7)\text{CO}-$, (in which R^7 is lower alkyl),
 $-\text{NHSO}_2-$, $-\text{SO}_2\text{NH}-$, $-\text{SO}_2\text{NHCO}-$ or $-\text{CONHSO}_2-$);
 or

thiazolyl, imidazolyl, pyrazolyl, pyridyl,
 thiienyl, furyl, isoxazolyl or chromanyl, each of
 which may have suitable substituent(s);

R^2 is lower alkyl, lower alkoxy(lower)alkyl,
 cycloalkyl, ar(lower)alkyl which may have
 suitable substituent(s), heterocyclic group or
 heterocyclic(lower)alkyl,

R^3 is aryl which may have suitable substituent(s) or
 heterocyclic group which may have suitable

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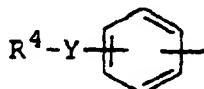
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substituent(s), and
 n is 0 or 1,
 and a pharmaceutically acceptable salt thereof.

5 2. A compound of claim 1, wherein
 R¹ is a group of the formula :

10.



(in which
 15 R⁴ is phenyl which may have 1 to 3 substituent(s)
 selected from the group consisting of
 halogen, lower alkyl, di(lower)alkylamino,
 protected amino, cyano, heterocyclic group
 which may have mono(or di or tri)-
 ar(lower)alkyl, hydroxy, protected hydroxy
 and mono(or di or tri)halo(lower)alkyl;
 20 or thienyl, pyrazolyl, imidazolyl,
 triazolyl, pyridyl, pyrrolyl, tetrazolyl,
 oxazolyl, thiazolyl, oxadiazolyl,
 piperazinyl, thiazolidinyl or
 methylenedioxyphenyl, each of which may have
 25 1 to 3 substituent(s) selected from the
 group consisting of lower alkyl, mono(or di
 or tri)ar(lower)alkyl and oxo;

30 Y is bond, lower alkylene, -S-, -O-, -C-, =CH-,
 -CONH-, -N-CO- (in which R⁷ is lower alkyl),
 R⁷
 -NHSO₂-, -SO₂NH-, -SO₂NHCO- or -CONHSO₂-);
 or
 35 thiazolyl, imidazolyl, pyrazolyl, pyridyl,

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